

Mo-6857/LeA 33,762

U S APPLICATION NO. (If known, see 37 CFR 1.5)

10/019093  
To Be AssignedPRIORITY DATE CLAIMED  
26 June 1999 (26.06.99)

INTERNATIONAL APPLICATION NO.	INTERNATIONAL FILING DATE
PCT/EP00/05416	13 June 2000 (13.06.00)

**TITLE OF INVENTION**  
**METHOD FOR PRODUCING 4,6-DICHLOROPYRIMIDINE**

**APPLICANT(S) FOR DO/EO/US** MAIS, Franz-Josef; CRAMM, Gunther; KLAUSENER, Alexander and STEFFAN, Guido

Applicant herewith submits to the United States Designated/Elected Office (DO/EO/US) the following items and other information:

1.  This is a **FIRST** submission of items concerning a filing under 35 U.S.C. 371.
2.  This is a **SECOND** or **SUBSEQUENT** submission of items concerning a filing under 35 U.S.C. 371.
3.  This is an express request to begin national examination procedures (35 U.S.C. 371(f)). The submission must include items (5), (6), (9) and (21) indicated below.
4.  The US has been elected by the expiration of 19 months from the priority date (Article 31).
5.  A copy of the International Application as filed (35 U.S.C. 371(c)(2))
  - a.  is attached hereto (required only if not communicated by the International Bureau).
  - b.  has been communicated by the International Bureau.
  - c.  is not required, as the application was filed in the United States Receiving Office (RO/US).
6.  An English language translation of the International Application as filed (35 U.S.C. 371(c)(2))
  - a.  is attached hereto.
  - b.  has been previously submitted under 35 U.S.C. 154(d)(4).
7.  Amendments to the claims of the International Application under PCT Article 19 (35 U.S.C. 371(c)(3))
  - a.  are attached hereto (required only if not communicated by the International Bureau).
  - b.  have been communicated by the International Bureau.
  - c.  have not been made; however, the time limit for making such amendments has NOT expired.
  - d.  have not been made and will not be made.
8.  An English language translation of the amendments to the claims under PCT Article 19 (35 U.S.C. 371(c)(3)).
9.  An oath or declaration of the inventor(s) (35 U.S.C. 371(c)(4)).
10.  An English language translation of the annexes of the International Preliminary Examination Report under PCT Article 36 (35 U.S.C. 371(c)(5)).

**Items 11 to 20 below concern document(s) or information included:**

11.  An Information Disclosure Statement under 37 CFR 1.97 and 1.98.
12.  An assignment document for recording. A separate cover sheet in compliance with 37 CFR 3.28 and 3.31 is included.
13.  A **FIRST** preliminary amendment.
14.  A **SECOND** or **SUBSEQUENT** preliminary amendment.
15.  A substitute specification.
16.  A change of power of attorney and/or address letter.
17.  A computer-readable form of the sequence listing in accordance with PCT Rule 13ter.2 and 35 U.S.C. 1.821 - 1.825.
18.  A second copy of the published international application under 35 U.S.C. 154(d)(4).
19.  A second copy of the English language translation of the international application under 35 U.S.C. 154(d)(4).
20.  Other items or information:

Abstract

Form PTO 1449 w/references

21.  The following fees are submitted:**BASIC NATIONAL FEE (37 CFR 1.492 (a) (1) - (5)):**

Neither international preliminary examination fee (37 CFR 1.482) nor international search fee (37 CFR 1.445(a)(2)) paid to USPTO and International Search Report not prepared by the EPO or JPO. .... \$1040.00

International preliminary examination fee (37 CFR 1.482) not paid to USPTO but International Search Report prepared by the EPO or JPO. .... \$890.00

International preliminary examination fee (37 CFR 1.482) not paid to USPTO but international search fee (37 CFR 1.445(a)(2)) paid to USPTO. .... \$740.00

International preliminary examination fee (37 CFR 1.482) paid to USPTO but all claims did not satisfy provisions of PCT Article 33(1)-(4). .... \$710.00

International preliminary examination fee (37 CFR 1.482) paid to USPTO and all claims satisfied provisions of PCT Article 33(1)-(4). .... \$100.00

**ENTER APPROPRIATE BASIC FEE AMOUNT =**

\$ 890.00

Surcharge of **\$130.00** for furnishing the oath or declaration later than  20  30 months from the earliest claimed priority date (37 CFR 1.492(e)).

\$ 0.00

CLAIMS	NUMBER FILED	NUMBER EXTRA	RATE	\$
Total claims	9 - 20 =	0	x \$18.00	\$ 0.00
Independent claims	1 - 3 =	0	x \$84.00	\$ 0.00
MULTIPLE DEPENDENT CLAIM(S) (if applicable)			+ \$280.00	\$ 0.00

**TOTAL OF ABOVE CALCULATIONS =**

\$ 890.00

Applicant claims small entity status. See 37 CFR 1.27. The fees indicated above are reduced by 1/2.

\$ 0.00

**SUBTOTAL =**

\$ 890.00

Processing fee of **\$130.00** for furnishing the English translation later than  20  30 months from the earliest claimed priority date (37 CFR 1.492(f)).

\$ 0.00

**TOTAL NATIONAL FEE =**

\$ 890.00

Fee for recording the enclosed assignment (37 CFR 1.21(h)). The assignment must be accompanied by an appropriate cover sheet (37 CFR 3.28, 3.31). **\$40.00** per property

\$ 40.00

**TOTAL FEES ENCLOSED =**

\$ 930.00

Amount to be refunded:	\$
charged:	\$

- A check in the amount of \$ \_\_\_\_\_ to cover the above fees is enclosed.
- Please charge my Deposit Account No. 13-3848 in the amount of \$ 930.00 to cover the above fees. A duplicate copy of this sheet is enclosed.
- The Commissioner is hereby authorized to charge any additional fees which may be required, or credit any overpayment to Deposit Account No. 13-3848. A duplicate copy of this sheet is enclosed.
- Fees are to be charged to a credit card. **WARNING:** Information on this form may become public. **Credit card information should not be included on this form.** Provide credit card information and authorization on PTO-2038.

**NOTE: Where an appropriate time limit under 37 CFR 1.494 or 1.495 has not been met, a petition to revive (37 CFR 1.137 (a) or (b)) must be filed and granted to restore the application to pending status.**

SEND ALL CORRESPONDENCE TO:



SIGNATURE

Richard E.L. Henderson  
NAME

31,619  
REGISTRATION NUMBER



00157

PATENT TRADEMARK OFFICE

10/019093  
531 Rec'd PCT/PTC 19 DEC 2001

PATENT APPLICATION  
Mo6857  
LeA 33,762

**IN THE UNITED STATES PATENT AND TRADEMARK OFFICE**

IN APPLICATION OF )  
FRANZ-JOSEF MAIS ET AL ) PCT/EP00/05416  
SERIAL NO.: TO BE ASSIGNED )  
FILED: HEREWITH )  
TITLE: METHOD FOR PRODUCING )  
4,6-DICHLOROPYRIMIDINE )  
 )

**PRELIMINARY AMENDMENT**

Assistant Commissioner for Patents

Washington, D.C. 20231

Sir:

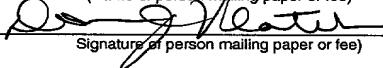
Prior to examination, please amend the application as follows.

"Express Mail" mailing label number ET700176094US  
Date of Deposit December 19, 2001

I hereby certify that this paper or fee is being deposited with the United States Postal Service "Express Mail Post Office to Addressee" service under 37 CFR 1.10 on the date indicated above and is addressed to the Assistant Commissioner of Patents and Trademarks, Washington, D.C. 20231

Donna J. Veatch

(Name of person mailing paper or fee)



(Signature of person mailing paper or fee)

IN THE SPECIFICATION:

Please replace the title at page 1, line 1, with

-- METHOD FOR PRODUCING 4,6-DICHLOROPYRIMIDINE --

IN THE CLAIMS:

Please replace the heading at page 8, line 1, with --WHAT IS CLAIMED IS:-

Please cancel Claims 1-9 and add Claims 10-18.

--10. A process for preparing 4,6-dichloropyrimidine comprising reacting 4-chloro-6-hydroxypyrimidine with an acid chloride.

11. The process according to Claim 10 wherein the acid chloride is  $\text{PCl}_3$ ,  $\text{POCl}_3$ ,  $\text{PCl}_5$ ,  $\text{R-PCl}_2$ ,  $\text{R-PCl}_4$ ,  $\text{R-POCl}_2$ , or  $\text{R}_3\text{PCl}_2$ , where R represents  $\text{C}_6\text{-C}_{10}\text{-aryl}$ , substituted  $\text{C}_6\text{-C}_{10}\text{-aryl}$ ,  $\text{C}_1\text{-C}_{10}\text{-alkyl}$ , or substituted  $\text{C}_1\text{-C}_{10}\text{-alkyl}$ ; an acid chloride of the formula  $\text{R}'\text{-CO-Cl}$ , where  $\text{R}'$  represents chlorine,  $\text{C}_1\text{-C}_{10}\text{-alkoxy}$ ,  $\text{C}_6\text{-C}_{10}\text{-aryloxy}$ ,  $-\text{O-CCl}_3$ ,  $-\text{CO-Cl}$ , or  $\text{C}_5\text{-C}_{11}\text{-heteroaryloxy}$  having 1 to 3 heteroatoms selected from the group consisting of N, O, and S, where the alkoxy, aryloxy, and heteroaryloxy radicals are optionally substituted; and  $\text{SOCl}_2$ .

12. The process according to Claim 10 wherein the acid chloride is generated in situ.

13. The process according to Claim 10 wherein 4-chloro-6-hydroxypyrimidine is used in isolated form or in the form of a reaction mixture containing the 4-chloro-6-hydroxypyrimidine.

14. The process according to Claim 10 wherein at least 1 mol of acid chloride is used per mole of 4-chloro-6-hydroxypyrimidine.

15. The process according to Claim 10 carried out in the presence of an aliphatic solvent, an aromatic solvent, a nitrile, an N-containing solvent, an ether, or a polyether.

16. The process according to Claim 10 carried out at a temperature in the range 0 to 200°C.

17. The process according to Claim 10 carried out under a pressure in the range 0.1 to 50 bar.

18. The process according to Claim 10 wherein 4-chloro-6-hydroxypyrimidine is added to the acid chloride, optionally with a solvent.--

IN THE ABSTRACT:

Please add an Abstract as new page 10 to read as follows:

--METHOD FOR PRODUCING 4,6-DICHLOROPYRIMIDINE

ABSTRACT OF THE DISCLOSURE

The present invention relates to a process for preparing 4,6-dichloropyrimidine by reaction of 4-chloro-6-hydroxypyrimidine with an acid chloride.--

REMARKS

Applicants hereby offer preliminary amendments to the present application to place the application in better form for allowance.

Applicants have canceled Claims 1-9 in favor of replacement Claims 10-18 to correct certain informalities (including avoidance of multiple dependencies) and to clarify the intended meaning of the claims. Applicants respectfully submit that the claims are fully supported in the specification.

Applicants have amended the specification to change the title to correspond to the English version of the title appearing on the International Application and to capitalize all letters in the title. Applicants submit that these amendments serve only to clarify their application and do not alter the scope of their disclosure.

Applicants have added an Abstract that summarizes the subject matter of their invention. A copy of the new Abstract is separately attached.

In view of the preceding amendments and remarks, allowance of the claims is respectfully requested.

Respectfully submitted,

By Richard E. Henderson

Richard E. L. Henderson  
Attorney for Applicants  
Reg. No. 31,619

Bayer Corporation  
100 Bayer Road  
Pittsburgh, Pennsylvania 15205-9741  
PHONE: (412) 777-8341  
FACSIMILE PHONE NUMBER:  
412-777-8363

s/rmc/relh0068

ANNOTATED VERSION OF AMENDMENTS

IN THE SPECIFICATION:

The title at page 1, line 1, has been changed from "A process for preparing 4,6-dichloropyrimidine" to

-- METHOD FOR PRODUCING 4,6-DICHLOROPYRIMIDINE --

IN THE CLAIMS:

The heading for the claims at page 8, line 1, has been changed from "Claims" to --WHAT IS CLAIMED IS--

Claims 1-9 have been canceled in favor of replacement Claims 10-18.

As explicitly set forth in 37 C.F.R. 1.121(c)(1)(ii), an annotated version does not need to be supplied for an added claim or a canceled claim as long as it is stated that a particular claim has been added or canceled. Here, Claims 1-9 have been canceled and Claims 10-18 have been added.

IN THE ABSTRACT:

An Abstract has been added as new page 10 as follows:

--METHOD FOR PRODUCING 4,6-DICHLOROPYRIMIDINE

ABSTRACT OF THE DISCLOSURE

The present invention relates to a process for preparing 4,6-dichloropyrimidine by reaction of 4-chloro-6-hydroxypyrimidine with an acid chloride.--

- 10 -

**METHOD FOR PRODUCING 4,6-DICHLOROPYRIMIDINE**

**ABSTRACT OF THE DISCLOSURE**

The present invention relates to a process for preparing 4,6-dichloropyrimidine by reaction of 4-chloro-6-hydroxypyrimidine with an acid chloride.

WO 01/00591  
LJN 73762

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Date of Deposit December 19, 2001

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Donna J. Veatch  
(Name of person mailing paper or fee)

A process for preparing 4,6-dichloropyrimidine

10/019093

531 Rec'd PC      19 DEC 2001

The present invention relates to a process for preparing 4,6-dichloropyrimidine from 4-chloro-6-hydroxypyrimidine. 4,6-Dichloropyrimidine is a valuable intermediate for preparing crop protection agents.

A number of processes for preparing 4,6-dichloropyrimidine are known, see, for example, WO96/23776, EP-A-697 406, EP-A-745 593, WO 95/29166, DE-A-19 53 129 and GB 2 325 224. However, these processes always start from 4,6-dihydroxypyrimidine.

It is also known (see Res. Discl. n 391, 690-691 (1996)) that 4,6-dichloropyrimidine can be reacted by reacting 4-chloro-6-methoxypyrimidine with a chlorinating agent of the formula  $R_3PCl_2$ .

DE-A-44 08 404 describes a process for preparing chloropyrimidines, including inter alia 4,6-dichloropyrimidine. Hydroxypyrimidines are generally mentioned as starting material, but not chlorohydroxypyrimidines. According to this reference, furthermore, chlorination is effected with  $POCl_3$  with addition of amines or amine hydrochlorides.

No process for preparing 4,6-dichloropyrimidine starting from 4-chloro-6-hydroxypyrimidine and resulting in the desired product in a simple manner is yet known.

A process for preparing 4,6-dichloropyrimidine which is characterized in that 4-chloro-6-hydroxypyrimidine is reacted with an acid chloride has now been found.

Suitable acid chlorides are organic and inorganic acid chlorides, for example  $PCl_3$ ,  $POCl_3$ ,  $PCl_5$ ,  $R-PCl_2$ ,  $R-PCl_4$ ,  $R-POCl_2$  and  $R_3PCl_2$ , where R represents optionally substituted  $C_6-C_{10}$ -aryl or optionally substituted  $C_1-C_{10}$ -alkyl, acid chlorides of the

formula R'-CO-Cl with R' = chlorine, C<sub>1</sub>-C<sub>10</sub>-alkoxy, C<sub>6</sub>-C<sub>10</sub>-aryloxy, -O-CCl<sub>3</sub>, -CO-Cl, C<sub>5</sub>-C<sub>11</sub>-heteroaryloxy with 1 to 3 heteroatoms from the group of N, O and S, where the alkoxy, aryloxy and hetaryloxy radicals may optionally be substituted, and SOCl<sub>2</sub>.

5

The acid chlorides are active on their own. In particular, no additions of catalysts are necessary, such as amides (for example diethylformamide), amines or organic phosphorus compounds (see EP-A-95 637).

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However, it is possible to add such catalysts which are known in principle.

It is also possible to employ mixtures of acid chlorides, but this is not preferred.

15

It is furthermore possible to generate the required acid chloride *in situ*. For example, R<sub>3</sub>PCl<sub>2</sub> can be generated from R<sub>3</sub>P and chlorine or from R<sub>3</sub>P=O and a chlorinating agent, for example PCl<sub>3</sub>, phosgene or SOCl<sub>2</sub>.

20

It is furthermore possible to employ not only isolated 4-chloro-6-hydroxypyrimidine but also a reaction mixture which contains 4-chloro-6-hydroxypyrimidine and originates, for example, from the cleavage of 4-chloro-6-methoxypyridine. The acid chloride to be employed according to the invention can be metered directly into the reaction mixture from the cleavage of 4-chloro-6-methoxypyrimidine.

25

In general, at least 1 mol of acid chloride per mole of 4-chloro-6-hydroxypyrimidine is employed in the process of the invention. This amount is preferably 1 to 3 mol.

30

Solvents suitable in principle are those which have no adverse effect on the reaction to be carried out. Examples are aliphatic solvents such as alkanes, cycloalkanes and halogenoalkanes, aromatic solvents such as benzene, xylenes, toluene, chlorobenzenes, benzotrifluoride, p-chlorobenzotrifluoride and anisole, it being possible for the aliphatic and aromatic solvents optionally to be substituted further,

nitriles such as acetonitrile and benzonitrile, N-containing solvents such as dimethylformamide, dimethylacetamide, lactams and cyclic ureas, and ethers and polyethers of a wide variety of types. A solvent can be dispensed with if liquid acid chlorides are employed, preferably in excess.

5

The process of the invention can be carried out, for example, at temperatures in the range 0 to 200°C, preferably at 20 to 175°C, particularly preferably at 30 to 150°C. The pressure is not critical. It can be, for example, 0.1 to 50 bar, preferably 0.5 to 5 bar. Atmospheric pressure is particularly preferred.

10

The process of the invention can be carried out in various embodiments, for example batchwise, semibatchwise or continuously. One possible procedure is as follows: 4-chloro-6-hydroxypyrimidine is added to an acid chloride with, where appropriate, a solvent. It is then possible to stir at the desired temperature until the conversion to the 4,6-dichloropyrimidine has taken place substantially or completely. It is also possible to meter the acid chloride into 4-chloro-6-hydroxypyrimidine in solution or as suspension. Other procedures are also conceivable.

15

The working up of the reaction mixture present after the reaction can take place, for example, by extraction of the prepared 4,6-dichloropyrimidine with a solvent and subsequent distillation of the extract. It is also possible to add water to the mixture present after the reaction and then remove 4,6-dichloropyrimidine. It is also possible to distil the complete reaction mixture or firstly carry out a rechlorination with  $\text{Cl}_2/\text{PCl}_3$  or  $\text{PCl}_5$  and then distil. Other embodiments and possible work ups are also conceivable.

20

The process of the invention for preparing 4,6-dichloropyrimidine is considerably simpler than the prior art processes. It requires no catalysts or auxiliaries such as amides, organic phosphorus compounds, amines or amine hydrochlorides. It can moreover be carried out without solvent if liquid acid chlorides are used, which greatly simplifies the working up.

25

**Examples****Example 1**

5      100 parts by weight of chlorobenzene, 13.1 parts by weight of 4-chloro-6-hydroxypyrimidine and 36.6 parts by weight of dichlorotriphenylphosphorane were introduced into a stirred vessel. The mixture was then heated with stirring to 100°C and stirred at this temperature for 3 hours. After cooling to room temperature, the content of 4,6-dichloropyrimidine in the reaction mixture was found by HPLC to be  
10     9.95% by weight. The yield taking account of the final weight of 144.3 parts by weight of reaction mixture was thus 96.7% of theory. Only traces of 4-chloro-6-hydroxypyrimidine were found in the reaction mixture.

**Example 2**

15     100 parts by weight of thionyl chloride, 30 parts by weight of triphenylphosphine oxide and 26.1 parts by weight of 4-chloro-6-hydroxypyrimidine were introduced into a stirred vessel and heated to reflux with stirring. After 6 hours, the reaction was stopped and, after cooling to room temperature, 130.1 parts by weight of reaction mixture were obtained and were analyzed by HPLC. The content of 4,6-dichloropyrimidine was found to be 22.04% by weight, corresponding to a yield of 99.2% of theory. 4-Chloro-6-hydroxypyrimidine was present only in traces in the reaction mixture after the reaction.

25     **Example 3**

130 parts by weight of phosphorus oxychloride and 26.1 parts by weight of 4-chloro-6-hydroxypyrimidine were introduced into a stirred vessel and heated to 100°C with stirring. The reaction was complete after 30 minutes at 100°C. The final weight of  
30     reaction mixture after cooling to room temperature was 152.3 parts by weight.

- 5 -

Analysis thereof by HPLC showed a content of 19.25% 4,6-dichloropyrimidine, corresponding to a yield of 98.4% of theory.

The reaction mixture was worked up by extraction five times with 100 parts by weight of methylcyclohexane each time at 50 to 60°C. The combined extracts were evaporated in vacuo. A solid residue of 30.8 parts by weight remained. Its content of 4,6-dichloropyrimidine measured by HPLC was 95.8%, corresponding to a yield of 99.0% of theory.

10 **Example 4**

The procedure was as in Example 3 and resulted, after cooling, in a reaction mixture with a final weight of 152.8 parts by weight and with a 4,6-dichloropyrimidine content, analyzed by HPLC, of 19.18%, corresponding to a yield of 98.3% of theory.

15 The reaction mixture was worked up by adding 33.0 parts by weight of  $\text{PCl}_3$ , heating to 80°C and, while stirring, passing in 14.2 parts by weight of chlorine gas over the course of one hour. The phosphorus oxychloride was then distilled out, initially under atmospheric pressure and then under gentle vacuum (200 mbar) at a bottom 20 temperature of up to 65°C. Distillation was then carried out under 100 mbar. 4,6-Dichloropyrimidine was obtained in an amount of 27.8 parts by weight with a content of 99.0% (HPLC). This corresponds to a yield of 92.4% of theory.

25 **Example 5**

100 parts by weight of dichlorophenylphosphine oxide and 20.08 parts by weight of 4-chloro-6-hydroxypyrimidine were mixed and heated to 100°C with stirring. This was stopped after 7 hours, and the mixture was cooled to room temperature. 116.0 parts by weight of reaction mixture which, according to HPLC analysis, had a 30 content of 16.04% 4,6-dichloropyrimidine and of 3.05% 4-chloro-6-

hydroxypyrimidine were obtained. This corresponds to a yield of 81.2% of 4,6-dichloropyrimidine and 17.6% of unreacted starting material.

**Example 6**

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100 parts by weight of chlorobenzene, 26.1 parts by weight of 4-chloro-6-hydroxypyrimidine and 10 parts by weight of dimethylformamide were introduced into a stirred vessel. The mixture was heated to 100°C with stirring and 99 parts by weight of phosgene were passed in at a constant rate over the course of 4 hours.

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Then, at 100°C, nitrogen was passed in for 1 hour to expel residues of phosgene. Cooling to room temperature resulted in 130.5 parts by weight of reaction mixture. HPLC analysis of the reaction mixture showed 19.8% 4,6-dichloropyrimidine, corresponding to a yield of 86.7% of theory.

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**Example 7**

110 parts by weight of chlorobenzene, 26.1 parts by weight of 4-chloro-6-hydroxypyrimidine and 45.8 parts by weight of phosphorus pentachloride were introduced into a stirred vessel. The mixture was then heated to 100°C with stirring. 20 After one hour at 100°C, cooling to room temperature resulted in 175.9 parts by weight of reaction mixture. HPLC analysis thereof showed a content of 16.6% 4,6-dichloropyrimidine, which corresponds to a yield of 98.0% of theory.

**Example 8**

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100 parts by weight of acetonitrile, 14.5 parts by weight of 4-chloro-6-methoxypyrimidine and 0.03 parts by weight of water were introduced into a stirred vessel and, while stirring at 80°C, 37 parts by weight of hydrogen chloride gas were passed in over the course of 10 hours. An HPLC sample was then taken. This indicated that the 4-chloro-6-hydroxypyrimidine was almost completely reacted and 4-chloro-6-hydroxypyrimidine had resulted. The reaction mixture obtained in this

way was stirred at 80°C and, over the course of 1 hour, 30.7 parts by weight of phosphorus oxychloride were added at a constant rate. After stirring for 15 minutes, the mixture was concentrated in vacuo. This resulted in a brown residue which was extracted three times with 5 parts by weight of methylcyclohexane each time. 5 Concentration of the combined methylcyclohexane extracts afforded a pale beige solid residue of 4,6-dichloropyrimidine. Final weight: 14.2 parts by weight, HPLC content 98.9%, corresponding to a yield of 94.3% of theory.

**Example 9**

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The process of Example 8 was repeated. After the addition of 30.7 parts by weight and stirring for 15 minutes, 21 parts by weight of phosphorus pentachloride were added in portions. The mixture was then stirred for 30 minutes and completely distilled in a manner analogous to Example 4. 13.9 parts by weight of 15 4,6-dichloropyrimidine and an HPLC content of 99.1% were obtained. This corresponds to a yield of 92.4% of theory.

Claims

1. A process for preparing 4,6-dichloropyrimidine, characterized in that 4-chloro-6-hydroxypyrimidine is reacted with an acid chloride.

5

2. The process as claimed in claim 1, characterized in that  $\text{PCl}_3$ ,  $\text{POCl}_3$ ,  $\text{PCl}_5$ ,  $\text{R-PCl}_2$ ,  $\text{R-PCl}_4$ ,  $\text{R-POCl}_2$  and  $\text{R}_3\text{PCl}_2$ , where R represents optionally substituted  $\text{C}_6\text{-C}_{10}$ -aryl or optionally substituted  $\text{C}_1\text{-C}_{10}$ -alkyl, acid chlorides of the formula  $\text{R}'\text{-CO-Cl}$  with  $\text{R}'$  = chlorine,  $\text{C}_1\text{-C}_{10}$ -alkoxy,  $\text{C}_6\text{-C}_{10}$ -aryloxy,  $-\text{O-CCl}_3$ ,  $-\text{CO-Cl}$ ,  $\text{C}_5\text{-C}_{11}$ -heteroaryloxy with 1 to 3 heteroatoms from the group N, O and S, where the alkoxy, aryloxy and hetaryloxy radicals may optionally be substituted, and  $\text{SOCl}_2$  are employed as acid chloride.

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3. The process as claimed in claims 1 and 2, characterized in that the required acid chloride is generated in situ.

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4. The process as claimed in claims 1 to 3, characterized in that 4-chloro-6-hydroxypyrimidine is employed in isolated form or in the form of a reaction mixture containing 4-chloro-6-hydroxypyrimidine.

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5. The process as claimed in claims 1 to 4, characterized in that at least 1 mol of acid chloride is employed per mole of 4-chloro-6-hydroxypyrimidine.

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6. The process as claimed in claims 1 to 5, characterized in that an aliphatic solvent, an aromatic solvent, a nitrile, an N-containing solvent, an ether or a polyether is employed as solvent.

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7. The process as claimed in claims 1 to 6, characterized in that it is carried out at temperatures in the range 0 to 200°C.

- 9 -

8. The process as claimed in claims 1 to 7, characterized in that it is carried out under a pressure in the range 0.1 to 50 bar.

9. The process as claimed in claims 1 to 8, characterized in that 4-chloro-6-hydroxypyrimidine is added to the acid chloride with, where appropriate, a solvent.

5

**COMBINED DECLARATION AND POWER OF ATTORNEY**

ATTORNEY DOCKET NO

As a below named inventor, I hereby declare that:

My residence, post office address and citizenship are as stated below next to my name. I believe I am the original, first and sole inventor (if only one name is listed below) or an original, first and joint inventor (if plural names are listed below) of the subject matter which is claimed and for which a patent is sought on the invention entitled

**METHOD FOR PRODUCING 4,6-DICHLOROPYRIMIDINE**

the specification of which is attached hereto,

or was filed on **June 13, 2000**

as a PCT Application Serial No. **PCT/EP00/05416**

I hereby state that I have reviewed and understand the contents of the above-identified specification, including the claims.

I acknowledge the duty to disclose information which is material to the patentability of this application in accordance with Title 37, Code of Federal Regulations, §1.56.

I hereby claim foreign priority benefits under Title 35, United States Code, §119 of any foreign application(s) for patent or inventor's certificate listed below and have also identified below any foreign application for patent or inventor's certificate having a filing date before that of the application on which priority is claimed:

Prior Foreign Application(s), the priority(ies) of which is/are to be claimed:

**199 29 353.8**  
(Number)

**Germany**  
(Country)

**June 26, 1999**  
(Month/Day/Year Filed)

I hereby claim the benefit under Title 35, United States Code, §120 of any United States application(s) listed below and, insofar as the subject matter of each of the claims of this application is not disclosed in the prior United States application in the manner provided by the first paragraph of Title 35, United States Code, §112, I acknowledge the duty to disclose the material information as defined in Title 37, Code of Federal Regulations, §1.56 which occurred between the filing date of the prior application and the national or PCT international filing date of this application:

(Application Serial No.)	(Filing Date)	(Status)
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(patented, pending, abandoned)

(Application Serial No.)	(Filing Date)	(Status)
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(patented, pending, abandoned)

I hereby declare that all statements made herein of my own knowledge are true and that all statements made on information and belief are believed to be true; and further that these statements were made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code and that such willful false statements may jeopardize the validity of the application or any patent issued thereon.

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**POWER OF ATTORNEY:** As a named inventor, I hereby appoint the following attorney(s) and this application and to transact all business in the Patent and Trademark Office conne

JOSEPH C. GIL, Patent Office Registration Number 26,602 ARON PREIS, Patent Office Registration Number 29,426  
LYNDANNE M. WHALEN, Patent Office Registration Number 29,457 THOMAS W. ROY,  
Patent Office Registration Number 29,582 RICHARD E. L. HENDERSON, Patent Office Registration Number 31,619  
GODFRIED R. AKORLI, Patent Office Registration Number 28,779-N DENISE BROWN, Patent Office  
Registration Number 36,097 NOLAND J. CHEUNG, Patent Office Registration Number 39,138  
DIDERICO VAN EYL, Patent Office Registration Number 38,641 CAROLYN M. SLOANE, Patent Office  
Registration Number 44,339 JAMES R. FRANKS, Patent Office Registration Number 42,552  
JACKIE ANN ZURCHER, Patent Office Registration Number 42,251  
RAYMOND J. HARMUTH, Patent Office Registration Number 33,896

all of Bayer Corporation, Pittsburgh, Pennsylvania 15205-9741

Send Correspondence To: Direct Telephone Calls To:  
Patent Department  
Bayer Corporation (412) 777-2349  
100 Bayer Road  
Pittsburgh, Pennsylvania 15205-9741

FULL NAME OF SOLE OR FIRST INVENTOR Franz-Josef Mais	INVENTOR'S SIGNATURE <i>Franz-Josef Mais</i>	DATE 2001-10-16
RESIDENCE D 40591 Düsseldorf, Germany	DE	CITIZENSHIP German
POST OFFICE ADDRESS c/o Bayer Aktiengesellschaft, D 51368 Leverkusen, Germany		
FULL NAME OF SECOND INVENTOR Günther Gramm	INVENTOR'S SIGNATURE <i>Günther Gramm</i>	DATE 2001-10-19
RESIDENCE D 51381 Leverkusen, Germany	DE	CITIZENSHIP German
POST OFFICE ADDRESS Am Sonnenhang 38, D 51381 Leverkusen, Germany		
FULL NAME OF THIRD INVENTOR Alexander Klausener	INVENTOR'S SIGNATURE <i>Alexander Klausener</i>	DATE 2001-10-06
RESIDENCE D 50259 Pulheim, Germany	DE	CITIZENSHIP German
POST OFFICE ADDRESS c/o Bayer Aktiengesellschaft, D 51368 Leverkusen, Germany		
FULL NAME OF FOURTH INVENTOR Guido Steffan	INVENTOR'S SIGNATURE <i>Guido Steffan</i>	DATE 2001-10-17
RESIDENCE D 51519 Odenthal, Germany	DE	CITIZENSHIP German
POST OFFICE ADDRESS Im Herzogenfeld 52, D 51519 Odenthal, Germany		
FULL NAME OF FIFTH INVENTOR	INVENTOR'S SIGNATURE	DATE
RESIDENCE	CITIZENSHIP	
POST OFFICE ADDRESS		
FULL NAME OF SIXTH INVENTOR	INVENTOR'S SIGNATURE	DATE
RESIDENCE	CITIZENSHIP	
POST OFFICE ADDRESS		
FULL NAME OF SEVENTH INVENTOR	INVENTOR'S SIGNATURE	DATE
RESIDENCE	CITIZENSHIP	
POST OFFICE ADDRESS		